

PRELIMINARY AMENDMENT OF CLAIMS

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CLAIMS

*Sub R1*

1. A fast-dissolving pharmaceutical composition comprising micronized (R)-2-(4-bromo-2-fluorobenzyl)-1,2,3,4-tetrahydropyrido[1,2-a]pyrazine-4-spiro-3'-pyrrolidine-1,2',3,5'-tetrone (hereinafter, referred to as "AS-3201").

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2. The fast-dissolving pharmaceutical composition according to claim 1, wherein the mean particle size of the 10 micronized AS-3201 is less than about 10  $\mu\text{m}$ .

3. The fast-dissolving pharmaceutical composition according to claim 1, wherein the mean particle size of the micronized AS-3201 is less than about 5  $\mu\text{m}$ .

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4. The fast-dissolving pharmaceutical composition according to claim 1, wherein the mean particle size of the micronized AS-3201 is in the range of about 0.5  $\mu\text{m}$  - about 3  $\mu\text{m}$ .

*Sub R2*

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5. A fast-dissolving pharmaceutical composition, which comprises micronized AS-3201 in a ratio of about 0.5 % by weight - 5 % by weight, a diluent in a ratio of about 51 % by weight - about 93.8 % by weight, a disintegrator in a ratio of about 5 % by weight - about 35 % by weight, a binder in a ratio of about 0.5 % by weight - about 5 % by weight, and a lubricant in a ratio of about 0.2 % by weight - about 4 % by weight, to the total weight of the pharmaceutical



*Sub  
B2  
cont.* composition.

6. The fast-dissolving pharmaceutical composition according to claim 5, wherein the mean particle size of the micronized AS-3201 is less than about 10 µm.

5 7. The fast-dissolving pharmaceutical composition according to claim 5, wherein the mean particle size of the micronized AS-3201 is less than about 5 µm.

10 8. The fast-dissolving pharmaceutical composition according to claim 5, wherein the mean particle size of the micronized AS-3201 is in the range of about 0.5 µm - about 3 µm.

15 9. The fast-dissolving pharmaceutical composition according to claim 5, which comprises a diluent in a ratio of about 59 % by weight - about 88 % by weight, a disintegrator in a ratio of about 10 % by weight - about 30 % by weight, a binder in a ratio of about 1 % by weight - about 3 % by weight, and a lubricant in a ratio of about 0.5 % by weight - about 3 % by weight.

20 10. The fast-dissolving pharmaceutical composition according to claim 6, which comprises a diluent in a ratio of about 59 % by weight - about 88 % by weight, a disintegrator in a ratio of about 10 % by weight - about 30 % by weight, a binder in a ratio of about 1 % by weight - about 3 % by weight, and a lubricant in a ratio of about 0.5 % by weight - about 3 % by weight.

11. The fast-dissolving pharmaceutical composition according to claim 7, which comprises a diluent in a ratio of about 59 % by weight - about 88 % by weight, a disintegrator in a ratio of about 10 % by weight - about 30 % by weight, 5 a binder in a ratio of about 1 % by weight - about 3 % by weight, and a lubricant in a ratio of about 0.5 % by weight - about 3 % by weight.

12. The fast-dissolving pharmaceutical composition according to claim 8, which comprises a diluent in a ratio 10 of about 59 % by weight - about 88 % by weight, a disintegrator in a ratio of about 10 % by weight - about 30 % by weight, a binder in a ratio of about 1 % by weight - about 3 % by weight, and a lubricant in a ratio of about 0.5 % by weight - about 3 % by weight.

13. A fast-dissolving pharmaceutical composition, 15 which comprises micronized AS-3201 in a ratio of more than 5 % by weight and less than about 25% by weight, a diluent in a ratio of about 16 % by weight - about 84.3 % by weight, a disintegrator in a ratio of about 10 % by weight - about 20 50 % by weight, a binder in a ratio of about 0.5 % by weight - about 5 % by weight, and a lubricant in a ratio of about 0.2 % by weight - about 4 % by weight, to the total weight of the pharmaceutical composition.

14. The fast-dissolving pharmaceutical composition 25 according to claim 13, wherein the mean particle size of the

micronized AS-3201 is less than about 10  $\mu\text{m}$ .

15. The fast-dissolving pharmaceutical composition according to claim 13, wherein the mean particle size of the micronized AS-3201 is less than about 5  $\mu\text{m}$ .

5 16. The fast-dissolving pharmaceutical composition according to claim 13, wherein the mean particle size of the micronized AS-3201 is in the range of about 0.5  $\mu\text{m}$  - about 3  $\mu\text{m}$ .

10 17. The fast-dissolving pharmaceutical composition according to claim 13, which comprises a diluent in a ratio of about 29 % by weight - about 73.5 % by weight, a disintegrator in a ratio of about 20 % by weight - about 40 % by weight, a binder in a ratio of about 1 % by weight - about 3 % by weight, and a lubricant in a ratio of about 0.5 % by weight - about 3 % by weight.

15 18. The fast-dissolving pharmaceutical composition according to claim 14, which comprises a diluent in a ratio of about 29 % by weight - about 73.5 % by weight, a disintegrator in a ratio of about 20 % by weight - about 40 % by weight, a binder in a ratio of about 1 % by weight - about 3 % by weight, and a lubricant in a ratio of about 0.5 % by weight - about 3 % by weight.

20 19. The fast-dissolving pharmaceutical composition according to claim 15, which comprises a diluent in a ratio of about 29 % by weight - about 73.5 % by weight, a

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disintegrator in a ratio of about 20 % by weight - about 40 % by weight, a binder in a ratio of about 1 % by weight - about 3 % by weight, and a lubricant in a ratio of about 0.5 % by weight - about 3 % by weight.

5        20. The fast-dissolving pharmaceutical composition according to claim 16, which comprises a diluent in a ratio of about 29 % by weight - about 73.5 % by weight, a disintegrator in a ratio of about 20 % by weight - about 40 % by weight, a binder in a ratio of about 1 % by weight - about 3 % by weight, and a lubricant in a ratio of about 0.5 % by weight - about 3 % by weight.

10      21. The fast-dissolving pharmaceutical composition according to claim 1, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

15      22. The fast-dissolving pharmaceutical composition according to claim 2, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

20      23. The fast-dissolving pharmaceutical composition according to claim 3, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

25      24. The fast-dissolving pharmaceutical composition according to claim 4, which has a dissolution percentage of

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the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

25. The fast-dissolving pharmaceutical composition according to claim 5, which has a dissolution percentage of  
5 the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

26. The fast-dissolving pharmaceutical composition according to claim 6, which has a dissolution percentage of  
10 the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

27. The fast-dissolving pharmaceutical composition according to claim 7, which has a dissolution percentage of  
15 the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

28. The fast-dissolving pharmaceutical composition according to claim 8, which has a dissolution percentage of  
20 the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

29. The fast-dissolving pharmaceutical composition according to claim 9, which has a dissolution percentage of  
25 the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

30. The fast-dissolving pharmaceutical composition according to claim 10, which has a dissolution percentage  
25 of the active substance of 50 % or more for 15 minutes after

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the start of the dissolution test.

31. The fast-dissolving pharmaceutical composition according to claim 11, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after 5 the start of the dissolution test.

32. The fast-dissolving pharmaceutical composition according to claim 12, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

10 33. The fast-dissolving pharmaceutical composition according to claim 13, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

15 34. The fast-dissolving pharmaceutical composition according to claim 14, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

20 35. The fast-dissolving pharmaceutical composition according to claim 15, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

25 36. The fast-dissolving pharmaceutical composition according to claim 16, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

37. The fast-dissolving pharmaceutical composition according to claim 17, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

5 38. The fast-dissolving pharmaceutical composition according to claim 18, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

10 39. The fast-dissolving pharmaceutical composition according to claim 19, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

15 40. The fast-dissolving pharmaceutical composition according to claim 20, which has a dissolution percentage of the active substance of 50 % or more for 15 minutes after the start of the dissolution test.

20 41. The fast-dissolving pharmaceutical composition according to claim 21, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after the start of the dissolution test.

42. The fast-dissolving pharmaceutical composition according to claim 22, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after the start of the dissolution test.

25 43. The fast-dissolving pharmaceutical composition

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according to claim 23, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after the start of the dissolution test.

44. The fast-dissolving pharmaceutical composition  
according to claim 24, which has a dissolution percentage  
of the active substance of 80 % or more for 15 minutes after  
the start of the dissolution test.

45. The fast-dissolving pharmaceutical composition according to claim 25, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after the start of the dissolution test.

46. The fast-dissolving pharmaceutical composition according to claim 26, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after the start of the dissolution test.

47. The fast-dissolving pharmaceutical composition according to claim 27, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after the start of the dissolution test.

20           48. The fast-dissolving pharmaceutical composition  
according to claim 28, which has a dissolution percentage  
of the active substance of 80 % or more for 15 minutes after  
the start of the dissolution test.

49. The fast-dissolving pharmaceutical composition  
25 according to claim 29, which has a dissolution percentage

of the active substance of 80 % or more for 15 minutes after the start of the dissolution test.

50. The fast-dissolving pharmaceutical composition according to claim 30, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after 5 the start of the dissolution test.

51. The fast-dissolving pharmaceutical composition according to claim 31, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after 10 the start of the dissolution test.

52. The fast-dissolving pharmaceutical composition according to claim 32, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after the start of the dissolution test.

15 53. The fast-dissolving pharmaceutical composition according to claim 33, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after the start of the dissolution test.

20 54. The fast-dissolving pharmaceutical composition according to claim 34, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after the start of the dissolution test.

25 55. The fast-dissolving pharmaceutical composition according to claim 35, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after

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the start of the dissolution test.

56. The fast-dissolving pharmaceutical composition according to claim 36, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after  
5 the start of the dissolution test.

57. The fast-dissolving pharmaceutical composition according to claim 37, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after  
the start of the dissolution test.

10 58. The fast-dissolving pharmaceutical composition according to claim 38, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after  
the start of the dissolution test.

15 59. The fast-dissolving pharmaceutical composition according to claim 39, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after  
the start of the dissolution test.

20 60. The fast-dissolving pharmaceutical composition according to claim 40, which has a dissolution percentage of the active substance of 80 % or more for 15 minutes after  
the start of the dissolution test.

25 61. The fast-dissolving pharmaceutical composition according to claim 1, which contains as a stabilizer at least one acidic substance having an acidity more potent than that  
of AS-3201.

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62. The fast-dissolving pharmaceutical composition according to claim 61, wherein the acidic substance is a member selected from the group consisting of citric acid, tartaric acid, maleic acid and phosphoric acid.

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